

RUSH
2 MO. AMENDED Access DB# 109864
SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: *Maury Audet* Examiner #: 79808 Date: 12/15/03
 Art Unit: 1654 Phone Number: 305-5039 Serial Number: 09/909062
 Mail Box & Bldg/Room Locat.: CM1-11D13; 11D04 Results Format Preferred: *PAPER*

If more than one search is submitted, please prioritize searches in order of need.

 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

Earliest Priority Filing Date: 7/6/00 (US)

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search the 5 closely related peptide compounds (core 157)

1 if free of the art, no more searching needed. If find art, then search for different R-group (any 1).

TX. / MAURY

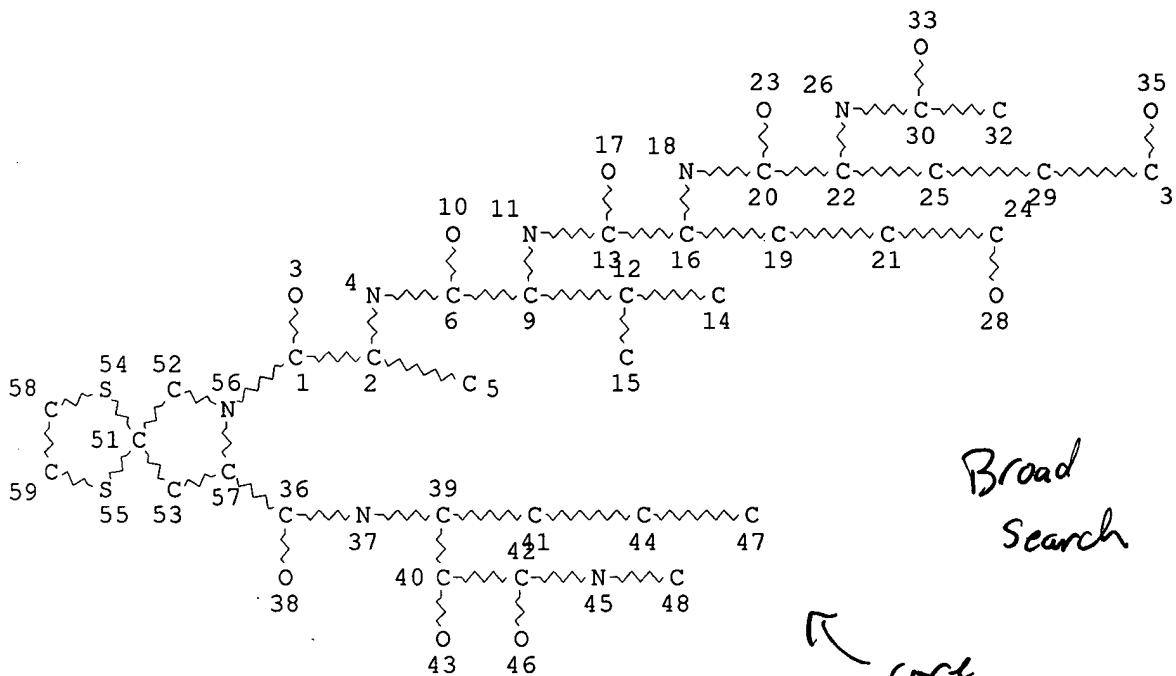
[Note - 2 previous searches of elected compound # 3 (by Susan Hark) showed compound # 3 to be free of the art. Appendix is now seeking to refor art compounds # 1, 2 & 3-4. Basis for updated search needed (as Susan is no longer searching).]

STAFF USE ONLY
 Searcher: _____
 Searcher Phone #: _____
 Searcher Location: _____
 Date Searcher Picked Up: 12/5
 Date Completed: 12/5
 Searcher Prep & Review Time: 20
 Clerical Prep Time: _____
 Online Time: 16

Type of Search	Vendors and cost where applicable
NA Sequence (#) _____	STN <u>302.75</u>
AA Sequence (#) _____	Dialog _____
Structure (#) <u>1</u>	Questel/Orbit _____
Bibliographic _____	Dr.Link _____
Litigation _____	Lexis/Nexis _____
Fulltext _____	Sequence Systems _____
Patent Family _____	WWW/Internet _____
Other _____	Other (specify) _____

=> d que 116
L12

STR



Page 1-A

1

Page 1-B

NODE ATTRIBUTES:

NSPEC IS RC AT 5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 53

STEREO ATTRIBUTES: NONE

L14 8 SEA FILE=REGISTRY SSS FUL L12
L16 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L14

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L16 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:90074 HCAPLUS
DOCUMENT NUMBER: 136:151440
TITLE: Preparation of novel peptides as NS3-serine protease
inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; *Inventors*

McCormick, Jinping; Wang, Haiyan; Pike, Russell E.;
Bogen, Stephane L.; Liu, Yi-Tsung; Arasappan, Ashok;
Parekh, Tejal; Pinto, Patrick A.; Njoroge, F. George;
Ganguly, Ashit K.; Brunck, Terence K.; Kemp, Scott
Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita
Schering Corporation, USA; Corvas International, Inc.
PCT Int. Appl., 197 pp.

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

CODEN:

DOCUMENT LANGUAGE:

Accent English

LANGUAGE: FAMLY ACC NUM COUNT: 1

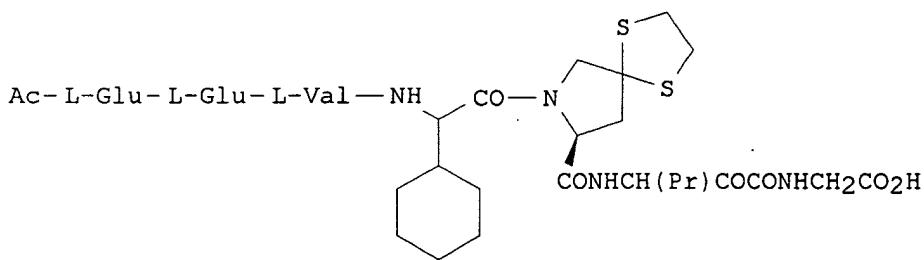
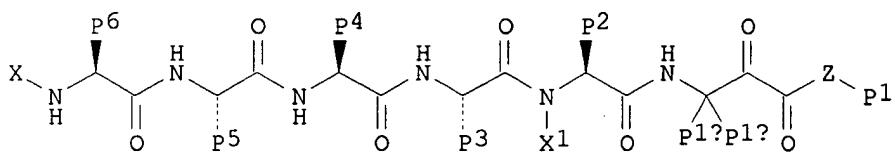
PATENT INFO. NO.:

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1301528	A2	20030416	EP 2001-959046	20010719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
RIORITY APPLN. INFO.:			US 2000-220109P	P 20000721
			WO 2001-US22826	W 20010719

WO 2001-US22826 W 20010719
OTHER SOURCE(S): MARPAT 136:151440

OTHER SOURCE(S): MARPAT 136:151440

61



AB Novel peptides I [Z = O, NH or substituted imino; X = (un)substituted alkylsulfonyl, heterocyclylsulfonyl, heterocyclylalkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkylcarbonyl, heterocyclylcarbonyl, heterocyclylalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxy carbonyl, heterocyclyloxy carbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, alkyaminocarbonyl, heterocyclylaminocarbonyl, arylaminocarbonyl, or heteroarylaminocarbonyl; X1 = H, alkyl, arylmethyl; P1a, P1b, P2-P6 = H, (un)substituted alkyl, alkenyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; P1a and P1b may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring contg. 0-6 oxygen, nitrogen, sulfur, or phosphorus atoms; P1' = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] having HCV protease inhibitory activity are disclosed. Thus, peptide II was prep'd. via peptide coupling in soln. and showed $K_i = 1-100$ nM for inhibition of HCV protease.

IT 393520-87-1P 393520-89-3P 393520-91-7P

393521-72-7P 394203-33-9P

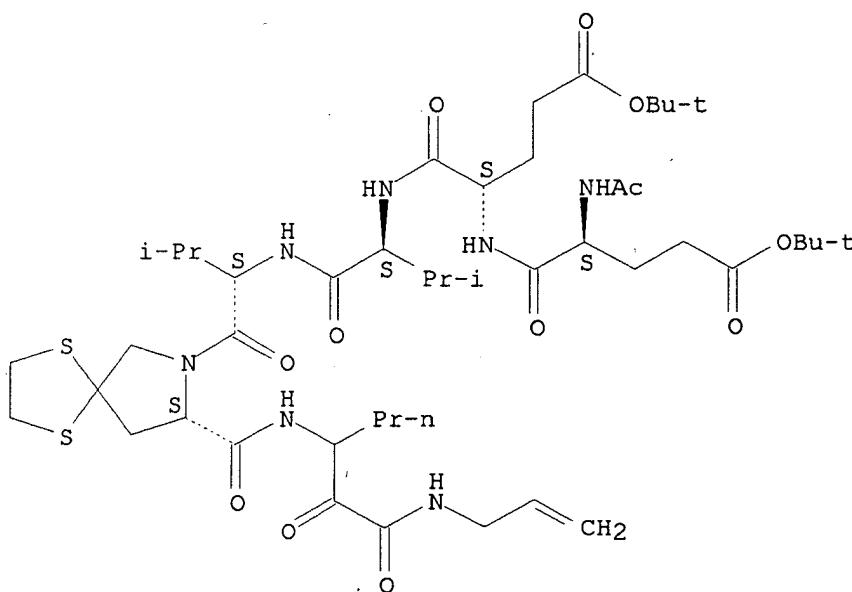
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393520-87-1 HCAPLUS

CN 1,4-Dithia-7-azaspiro[4.4]nonane-8-carboxamide, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-L-valyl-N-[1-[oxo(2-propenylamino)acetyl]butyl]-, bis(1,1-dimethylethyl) ester, (8S)- (9CI) (CA INDEX NAME)

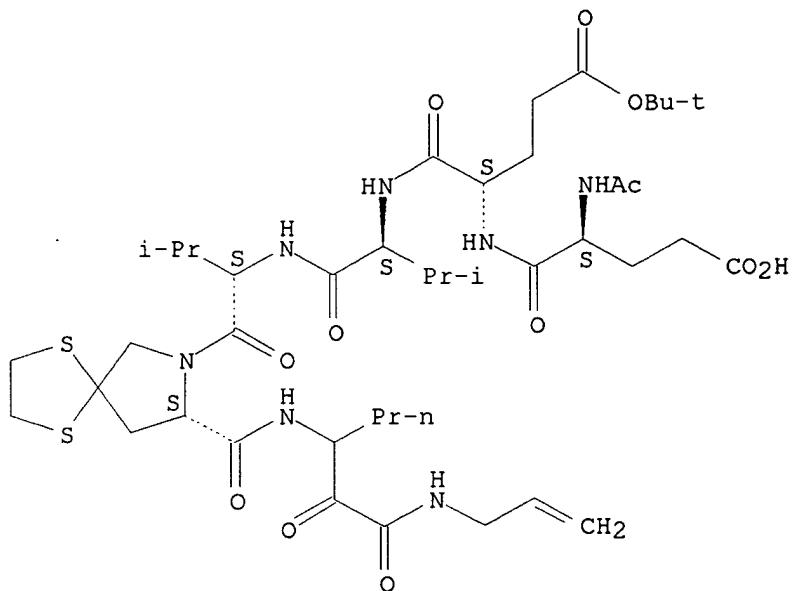
Absolute stereochemistry.



RN 393520-89-3 HCAPLUS

CN 1,4-Dithia-7-azaspiro[4.4]nonane-8-carboxamide, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-L-valyl-N-[1-[oxo(2-propenylamino)acetyl]butyl]-, 2-(1,1-dimethylethyl) ester, (8S)- (9CI) (CA INDEX NAME)

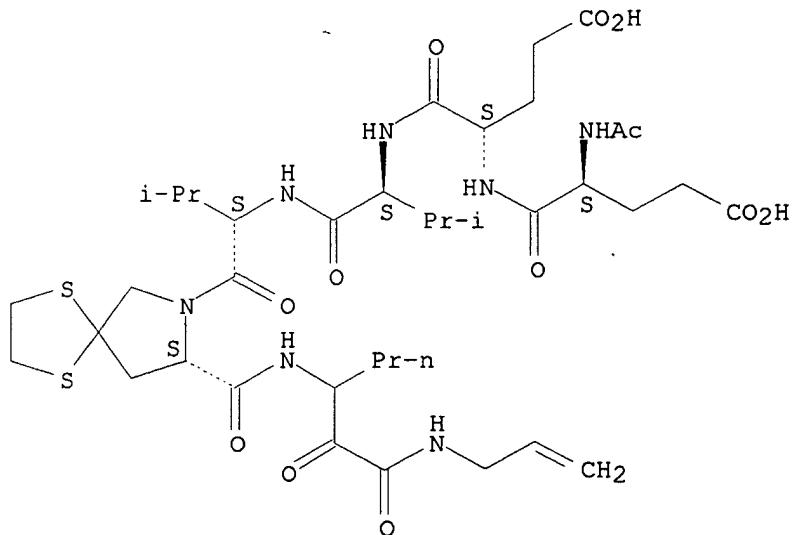
Absolute stereochemistry.



RN 393520-91-7 HCPLUS

CN 1,4-Dithia-7-azaspiro[4.4]nonane-8-carboxamide, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-L-valyl-N-[1-[oxo(2-propenylamino)acetyl]butyl]-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

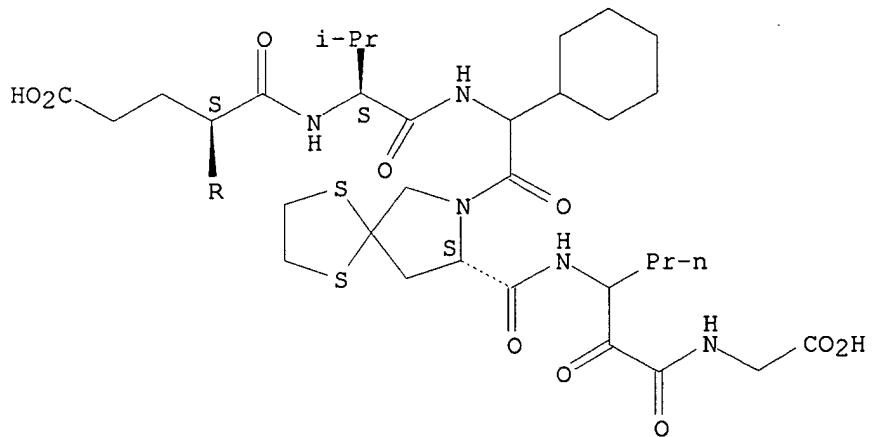


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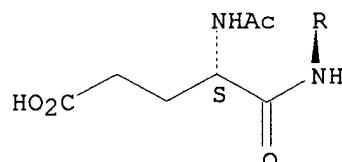
CN Glycine, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-2-cyclohexylglycyl-(8S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carbonyl-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



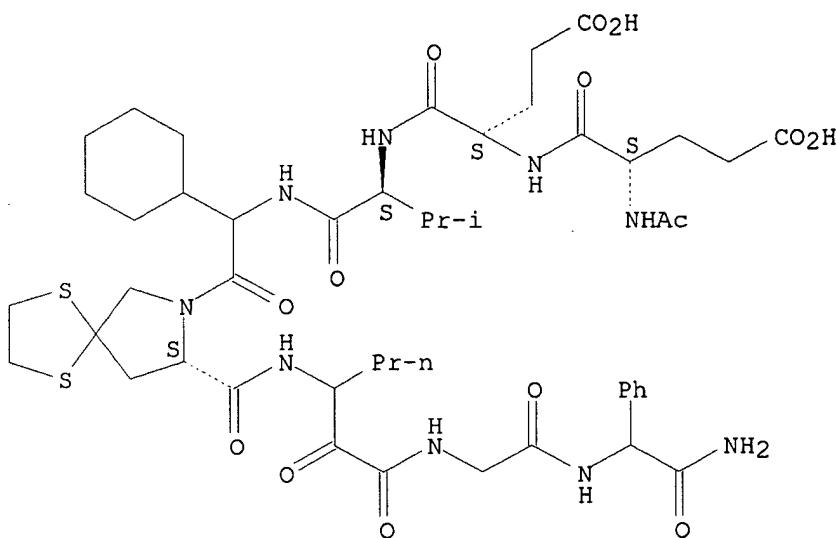
PAGE 2-A



RN 394203-33-9 HCPLUS

CN Glycinamide, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-2-cyclohexylglycyl-(8S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carbonyl-3-amino-2-oxohexanoylglycyl-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 393524-55-5P 393524-57-7P 394203-35-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

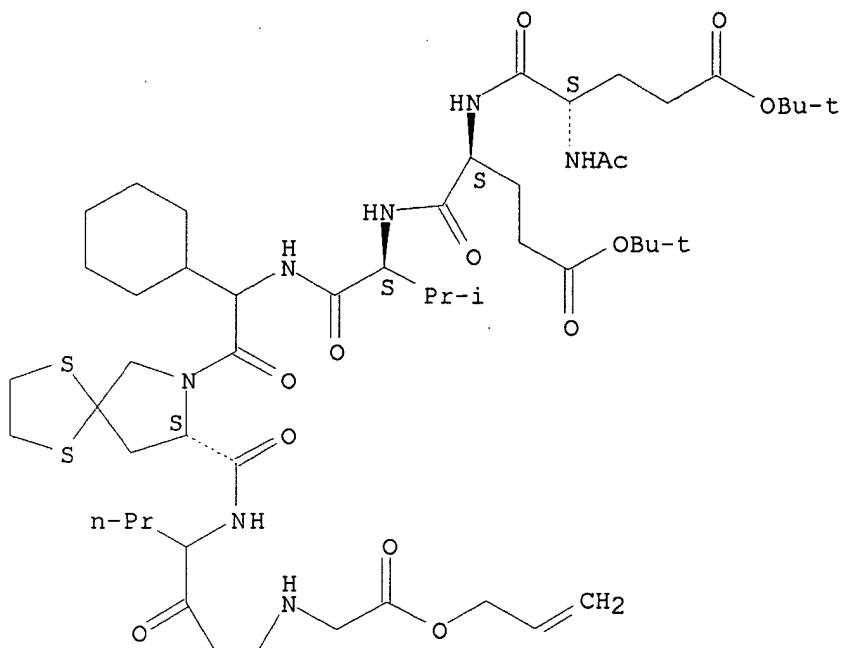
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393524-55-5 HCPLUS

CN Glycine, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-2-cyclohexylglycyl-(8S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carbonyl-3-amino-2-oxohexanoyl-, 1,2-bis(1,1-dimethylethyl) 7-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



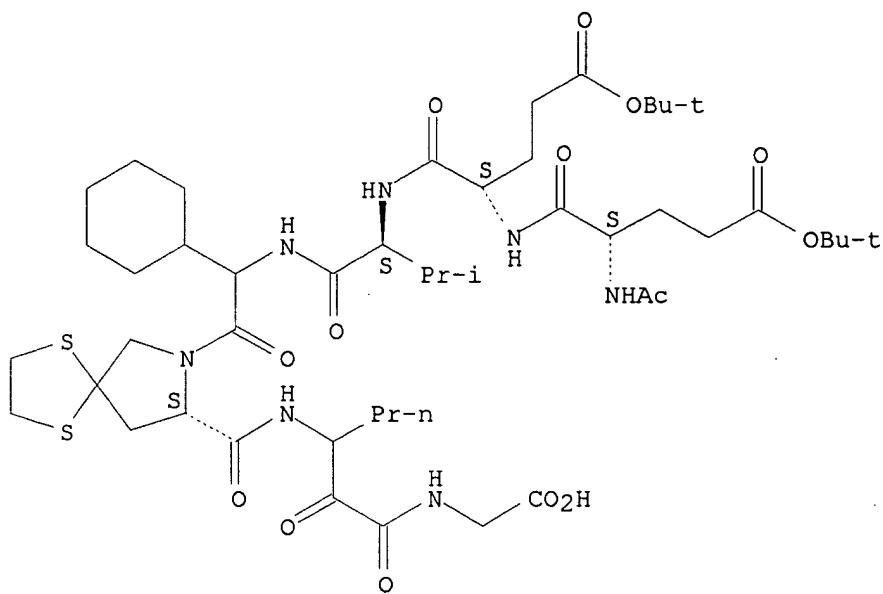
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CN Glycine, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-2-cyclohexylglycyl-(8S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carbonyl-3-amino-2-oxohexanoyl-, 1,2-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

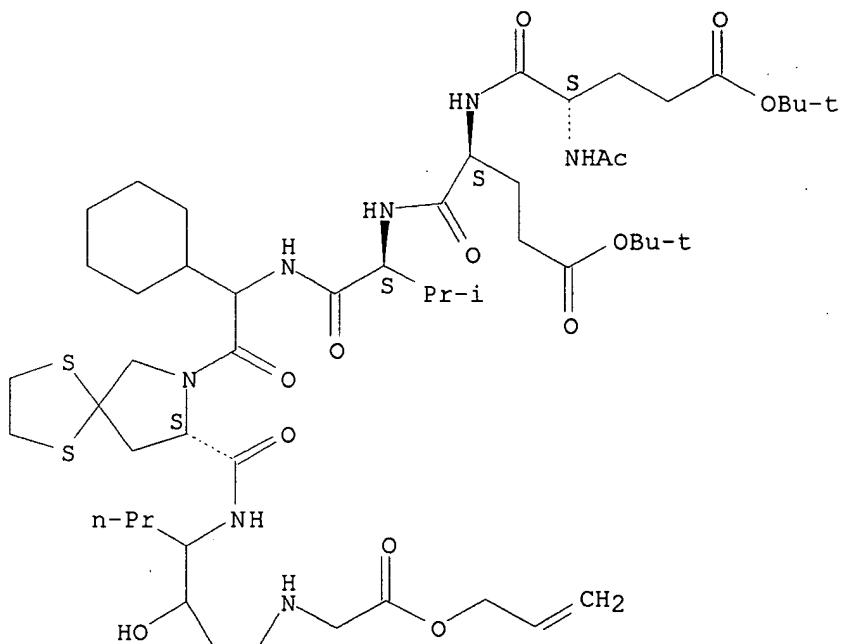


RN 394203-35-1 HCPLUS

CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-2-cyclohexylglycyl-(8S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carbonyl-3-amino-2-hydroxyhexanoyl-, 1,2-bis(1,1-dimethylethyl) 7-(2-propenyl) ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

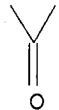
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Audet 09/909,062

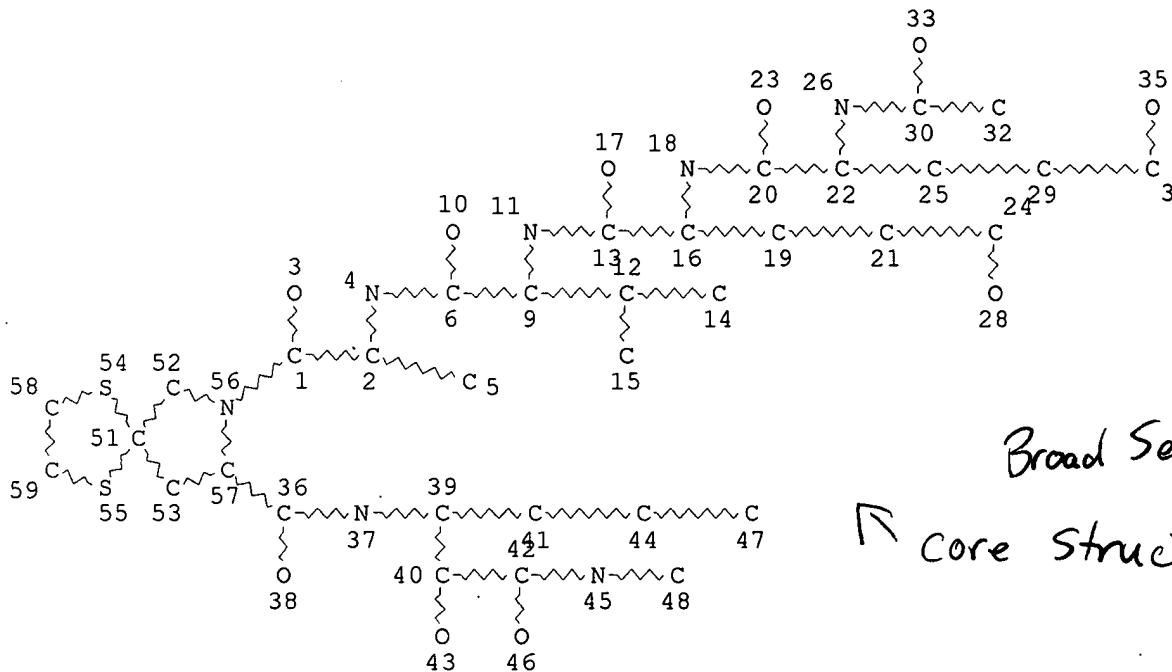
December 5, 2003

PAGE 2-A



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Page 1-A

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Page 1-B

NODE ATTRIBUTES:

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DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 53

STEREO ATTRIBUTES: NONE

L18 2 SEA FILE=MARPAT SSS FUL L12

L19 1 SEA FILE=MARPAT ABB=ON PLU=ON L18/COM

=> d 119 ibib abs fqhit

L19 ANSWER 1 OF 1 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 136:151440 MARPAT

TITLE: Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus

HCAPLUS

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Liu, Yi-Tsung; Arasappan, Ashok;

Same Record as found in

PATENT ASSIGNEE(S):

Parekh, Tejal; Pinto, Patrick A.; Njoroge, F. George;
 Ganguly, Ashit K.; Brunck, Terence K.; Kemp, Scott
 Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita
 Schering Corporation, USA; Corvas International, Inc.

SOURCE:

PCT Int. Appl., 197 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

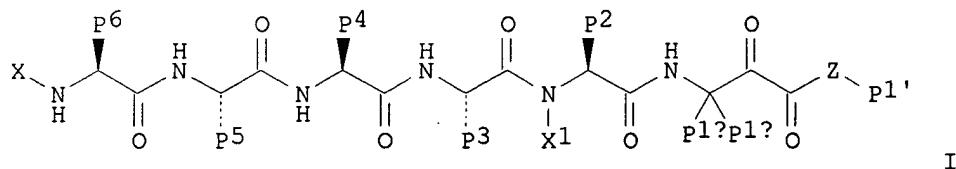
English

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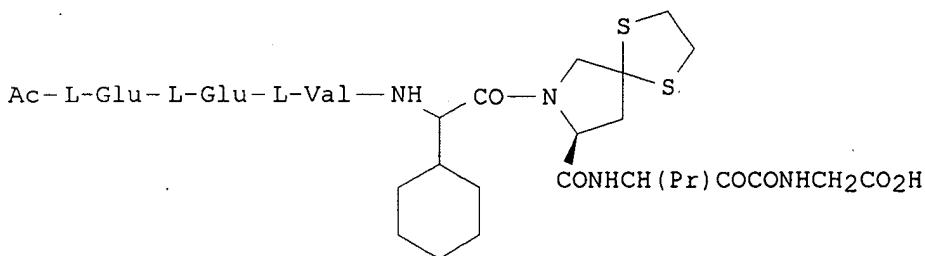
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008256	A2	20020131	WO 2001-US22826	20010719
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003036501	A1	20030220	US 2001-909062	20010719
EP 1301528	A2	20030416	EP 2001-959046	20010719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-220109P	20000721
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GI



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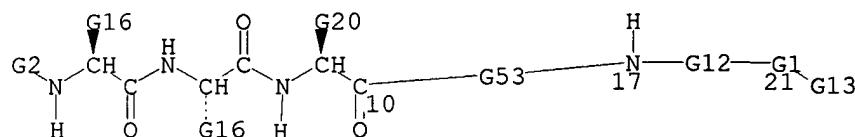


II

AB Novel peptides I [Z = O, NH or substituted imino; X = (un)substituted alkylsulfonyl, heterocyclylsulfonyl, heterocyclylalkylsulfonyl,

arylsulfonyl, heteroarylsulfonyl, alkylcarbonyl, heterocyclcarbonyl, heterocyclalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxy carbonyl, heterocyclloxy carbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, alkyaminocarbonyl, heterocyclaminocarbonyl, arylaminocarbonyl, or heteroarylaminocarbonyl; X1 = H, alkyl, arylmethyl; P1a, P1b, P2-P6 = H, (un)substituted alkyl, alkenyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; P1a and P1b may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring contg. 0-6 oxygen, nitrogen, sulfur, or phosphorus atoms; P1' = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] having HCV protease inhibitory activity are disclosed. Thus, peptide II was prep'd. via peptide coupling in soln. and showed Ki = 1-100 nM for inhibition of HCV protease.

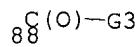
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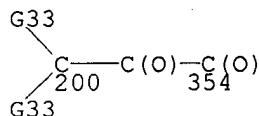
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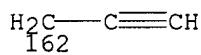
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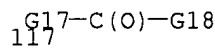
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G12 = 200-17 354-21



G13 = 162

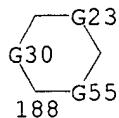


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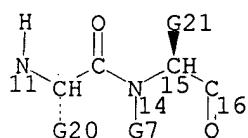


$\begin{matrix} G17-C(O)-G18 \\ 117 \end{matrix}$

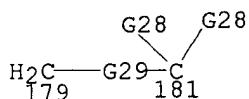
G17 = (1-4) CH₂
 G20 = Pr-i / cyclopropyl
 G29 = 188



G30 = S
 G33 = Pr-n
 G53 = 11-10 16-17



G55 = S
 G7 + G21 = 179-14 181-15



MPL: claim 1
 NTE: and pharmaceutically acceptable salts, solvates, derivatives and tautomers
 NTE: substitution is restricted
 NTE: also incorporates claim 16
 STE: enantiomers, stereoisomers, and rotamers

L Number	Hits	Search Text	DB	Time stamp
3	2	200009543.pn.	USPAT; US-PPGPUB; EPO; JPO; DERWENT	2003/12/05 15:13
4	4	381216.pn.	USPAT; US-PPGPUB; EPO; JPO; DERWENT	2003/12/05 15:16
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24	5	514/17.ccls. and protease and inhibitors and NS3 and HCV	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:45
25	7	514/17.ccls. and protease and inhibitors and HCV	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:46
26	258	435/6.ccls. and protease and inhibitors and HCV	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:46
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-	1333	"hepatitis C virus".ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:37
-	970	"hepatitis C virus".ti.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:38
-	1483	HCV.ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:38
-	134	"HCV protease"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:39
-	52	"HCV protease".ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:39
-	121	"HCV protease" and inhibitor	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:39
-	32	"HCV protease".ab. and inhibitor.ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:40
-	6	"HCV inhibitor".ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:40
-	36	"NS3/NS4a"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:44
-	0	"NS-3/NS4a"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:44
-	11	"NS3/NS4a".ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:46
-	172	"HCV polypeptide"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:45
-	93	"HCV peptide"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:45
-	276	"HCV protein"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:45
-	10	"NS3/NS4a".ab. and inhibitor.ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:08
-	0	"NS3/NS4a".ab. and inhibitor.ab. and 435/6.cc1s.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:08
-	0	"NS3/NS4a".ab. and inhibitor.ab. and 435/5.cc1s.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09

	0	"NS3/NS4a".ab. and 435/5.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09
	9	"NS3/NS4a" and 435/5.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09
	0	"NS3/NS4a".ab. and 435/6.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09
	0	"NS3/NS4a".ab. and 435/23.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09
	6	"NS3 protease" and inhibitor and 514/18.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:10
	22	"NS3 protease" and inhibitor and 435/6.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:10
	0	"NS3 protease".ab. and inhibitor.ab. and 435/6.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:10
	2	"NS3 protease".ab. and inhibitor.ab. and 435/5.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:10
	0	"NS3 protease".ab. and inhibitor.ab. and 435/6.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
	0	"NS3 protease".ab. and inhibitor.ab. and 514/18.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
	0	"NS3 protease".ab. and inhibitor.ab. and 514/16.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
	0	"NS3 protease".ab. and inhibitor.ab. and 514/9.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
	0	"NS3 protease".ab. and inhibitor.ab. and 514/160.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
	0	"NS3 protease".ab. and inhibitor.ab. and 424/85.4.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
	1	"NS3 protease".ab. and inhibitor.ab. and 530/324.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:12
	0	"NS3 protease".ab. and inhibitor.ab. and 530/325.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:12
	2	"NS3 protease".ab. and inhibitor.ab. and 530/326.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:13

-	2	"NS3 protease".ab. and inhibitor.ab. and 530/329.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:13
-	2	"NS3 protease".ab. and inhibitor.ab. and 530/332.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:13
-	2	"NS3 protease".ab. and inhibitor.ab. and 530/327.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:14
-	1	"NS3 protease".ab. and inhibitor.ab. and 530/328.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:14
-	2	"NS3 protease".ab. and inhibitor.ab. and 435/23.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:14
-	3	"NS3 protease".ab. and inhibitor and 435/5.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:15
-	7	8904669.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 13:07
-	2	9817679.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 13:08
-	2	200009558.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:11